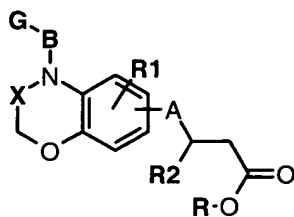


CLAIMS

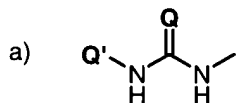
1. A compound of the formula (I)



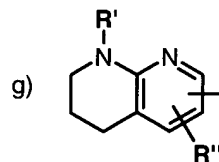
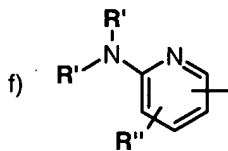
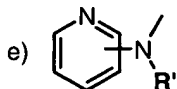
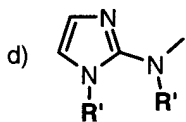
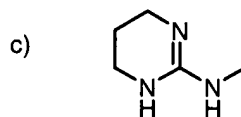
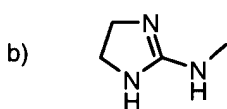
I

or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein:

G is selected from the group consisting of



10 wherein Q is NH or O and Q' is H, C₁-C₆ alkyl, phenyl, or phenyl-C₁-C₄-alkyl;



15 wherein R' and R'' are independently H or C₁-C₄ alkyl;

B is (CH₂)_m(CH=CH)_pY, wherein m = 1,2,3, p = 0,1, Y is CH₂ or CO.

X is CH₂ or C=O;

R₁ is selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, CF₃;

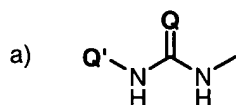
20 A is CH₂, NH, O, S(O)_n wherein n is zero, 1 or 2.

R₂ is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl or C₅-C₇ monocyclic heteroaryl ring containing one to three heteroatoms selected from O, S,

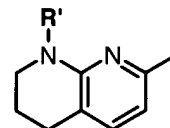
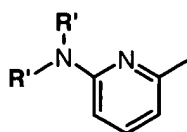
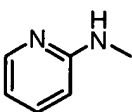
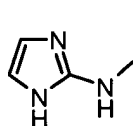
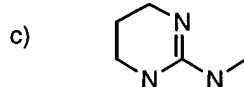
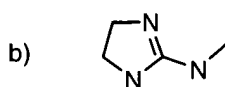
and N, unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, CF₃;

R is hydrogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₄ alkynyl, aryl or aryl-C₁-C₄ alkyl.

2. A compound according to claim 1, wherein G is selected from the group consisting of



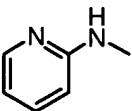
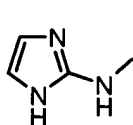
wherein Q is NH or O and Q' is selected from the group consisting of H, C₁-C₆ alkyl, phenyl, and phenyl-C₁-C₄-alkyl;



B is (CH₂)_q where q is 2,3,4;

R₂ is a phenyl or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, CF₃.

3. A compound according to claim 2, wherein G is selected from the group consisting of



4. The compound as recited in claim 1 wherein the compound is selected from the group consisting of

- 3-phenyl-N-{4-[2-(2-pyridinylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-beta-alanine
- 3-phenyl-N-{4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-beta-alanine
- 5 3-phenyl-N-{4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-beta-alanine
- N-{4-[2-(1H-imidazol-2-ylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
- 10 N-{4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
- N-{4-[2-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
- 3-(3-pyridinyl)-N-{4-[2-(2-pyridinylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-beta-alanine
- 15 3-(3-pyridinyl)-N-{4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-beta-alanine
- 3-(3-pyridinyl)-N-{4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-beta-alanine
- 20 N-{4-[2-(1H-imidazol-2-ylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine
- N-{4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine
- N-{4-[4-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine
- 25 N-{3-oxo-4-[2-(2-pyridinylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
- N-{3-oxo-4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
- 30 N-{3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
- N-{4-[2-(1H-imidazol-2-ylamino)ethyl]-3-oxo-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine

N-{4-[3-(1H-imidazol-2-ylamino)propyl]-3-oxo-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine

N-{4-[4-(1H-imidazol-2-ylamino)butyl]-3-oxo-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine

5 N-{3-oxo-4-[2-(2-pyridinylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-
3-(3-pyridinyl)-beta-alanine

N-{3-oxo-4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine

10 N-{3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-
3-(3-pyridinyl)-beta-alanine

N-{4-[2-(1H-imidazol-2-ylamino)ethyl]-3-oxo-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine

N-{4-[3-(1H-imidazol-2-ylamino)propyl]-3-oxo-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine

15 N-{4-[4-(1H-imidazol-2-ylamino)butyl]-3-oxo-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine

3-({3-oxo-4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}oxy)-3-phenylpropanoic acid;

3-({3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-
20 yl}oxy)-3-phenylpropanoic acid;

3-({3-oxo-4-[4-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}oxy)-3-phenylpropanoic acid;

3-({3-oxo-4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}oxy)-3-(3-pyridinyl)propanoic acid;

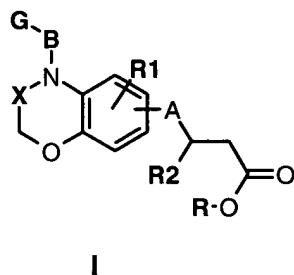
25 3-({3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}oxy)-3-(3-pyridinyl)propanoic acid;

3-({3-oxo-4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}oxy)-3-(3-pyridinyl)propanoic acid;

30 3-({3-oxo-4-[4-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}oxy)-3-(pyridinyl)propanoic acid;

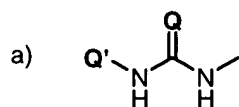
3-({3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-phenylpropanoic acid;

- 3-({3-oxo-4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-phenylpropanoic acid;
3-({3-oxo-4-[4-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-phenylpropanoic acid;
5 3-({3-oxo-4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-(3-pyridinyl)propanoic acid;
3-({3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-(3-pyridinyl)propanoic acid;
3-({3-oxo-4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-(3-pyridinyl)propanoic acid;
10 3-({3-oxo-4-[4-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-(3-pyridinyl)propanoic acid;
4-{3-oxo-4-[4-(pyridin-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenylbutanoic acid;
15 4-{3-oxo-4-[3-(1H-imidazol-2-ylamino)-propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenylbutanoic acid;
4-{3-oxo-4-[4-(1H-imidazol-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenylbutanoic acid;
4-{3-oxo-4-[4-(pyridin-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)butanoic acid;
20 4-{3-oxo-4-[3-(1H-imidazol-2-ylamino)-propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)butanoic acid;
4-{3-oxo-4-[4-(1H-imidazol-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)butanoic acid;
25 either as a single isomer or as a mixture thereof, and the pharmaceutically acceptable salts thereof.
5. A pharmaceutical composition comprising a therapeutically effective amount
30 of a compound or a pharmaceutically acceptable salt, prodrug or ester thereof having the formula (I):



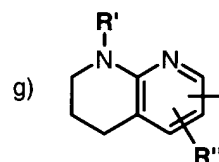
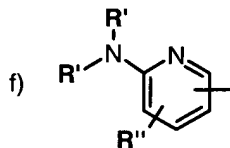
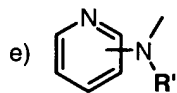
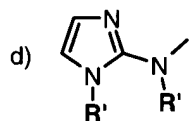
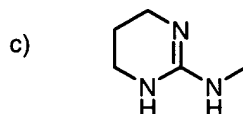
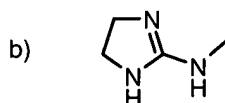
wherein:

G is selected from the group consisting of



5

wherein Q is NH or O and Q' is H, C₁-C₆ alkyl, phenyl, or phenyl-C₁-C₄-alkyl;



10

wherein R' and R'' are independently H or C₁-C₄ alkyl;

B is (CH₂)_m(CH=CH)_pY, wherein m = 1,2,3, p = 0,1, Y is CH₂ or CO.

X is CH₂ or C=O;

R1 is selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, CF₃;

15

A is CH₂, NH, O, S(O)_n wherein n is zero, 1 or 2.

R2 is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl or C₅-C₇ monocyclic heteroaryl ring containing one to three heteroatoms selected from O, S, and N, unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, CF₃;

20

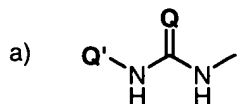
R is hydrogen, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₄ alkynyl, aryl or aryl-C₁-C₄

alkyl.

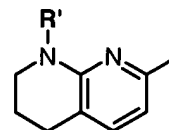
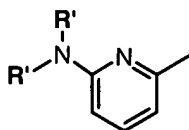
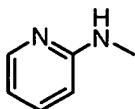
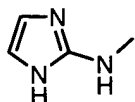
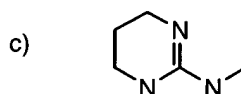
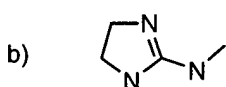
A

6. A pharmaceutical composition of claim 2 wherein :

G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, C₁-C₆ alkyl, phenyl, and phenyl-C₁-C₄-alkyl;



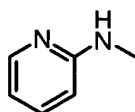
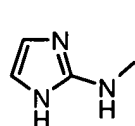
B is $(CH_2)_q$ where q is 2,3,4;

R2 is a phenyl or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, CF₃.

A

7. A pharmaceutical composition of claim 3 wherein :

G is selected from the group consisting of



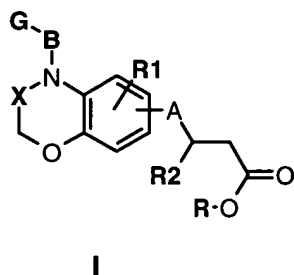
8. A pharmaceutical composition comprising a therapeutically effective amount of a compound or a pharmaceutically acceptable salt, prodrug or ester thereof as recited in claim 5 wherein the compound is selected from the group consisting of

3-phenyl-N-{4-[2-(2-pyridinylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-

- yl}-beta-alanine
3-phenyl-N-{4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-beta-alanine
3-phenyl-N-{4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-beta-alanine
5 N-{4-[2-(1H-imidazol-2-ylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
N-{4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
10 N-{4-[2-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
3-(3-pyridinyl)-N-{4-[2-(2-pyridinylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-beta-alanine
3-(3-pyridinyl)-N-{4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-beta-alanine
15 3-(3-pyridinyl)-N-{4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-beta-alanine
N-{4-[2-(1H-imidazol-2-ylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine
20 N-{4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine
N-{4-[4-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine
N-{3-oxo-4-[2-(2-pyridinylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
25 N-{3-oxo-4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
N-{3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
30 N-{4-[2-(1H-imidazol-2-ylamino)ethyl]-3-oxo-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine
N-{4-[3-(1H-imidazol-2-ylamino)propyl]-3-oxo-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenyl-beta-alanine

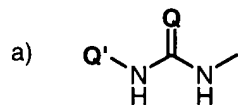
- [illegible]

- 3-({3-oxo-4-[4-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-phenylpropanoic acid;
3-({3-oxo-4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-(3-pyridinyl)propanoic acid;
5 3-({3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-(3-pyridinyl)propanoic acid;
3-({3-oxo-4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-(3-pyridinyl)propanoic acid;
3-({3-oxo-4-[4-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-(3-pyridinyl)propanoic acid;
10 4-{3-oxo-4-[4-(pyridin-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenylbutanoic acid;
4-{3-oxo-4-[3-(1H-imidazol-2-ylamino)-propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenylbutanoic acid;
15 4-{3-oxo-4-[4-(1H-imidazol-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenylbutanoic acid;
4-{3-oxo-4-[4-(pyridin-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)butanoic acid;
4-{3-oxo-4-[3-(1H-imidazol-2-ylamino)-propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)butanoic acid;
20 4-{3-oxo-4-[4-(1H-imidazol-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)butanoic acid;
4-{3-oxo-4-[4-(1H-imidazol-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)butanoic acid;
25 either as a single isomer or as a mixture thereof, and the pharmaceutically acceptable salts thereof.
9. A method for treating a condition mediated by the $\alpha_v\beta_3$ integrin in a mammal in need of such treatment, including a human, comprising administering to said mammal an effective $\alpha_v\beta_3$ inhibiting amount of a compound of formula (I)
- 30

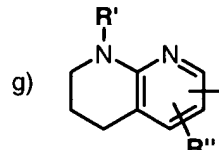
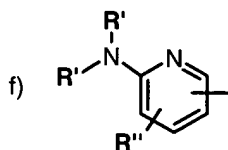
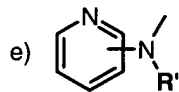
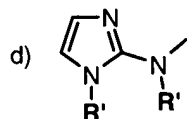
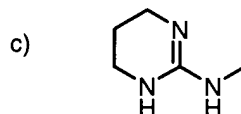
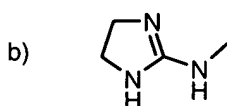


wherein:

G is selected from the group consisting of:



wherein Q is NH or O and Q' is H, C₁-C₆ alkyl, phenyl, or phenyl-C₁-C₄-alkyl;



wherein **R'** and **R''** are independently H or C₁-C₄ alkyl;

B is (CH₂)_m(CH=CH)_pY, wherein m = 1, 2, 3, p = 0, 1, Y is CH₂ or CO.

X is CH₂ or C=O;

R1 is selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy,

OH, halogen, CF₃;

A is CH₂, NH, O, S(O)_n wherein n is zero, 1 or 2.

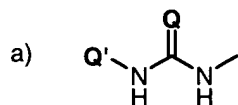
R2 is C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, aryl or C₅-C₇ monocyclic heteroaryl ring containing one to three heteroatoms selected from O, S, and N, unsubstituted or optionally substituted by one to three substituents selected independently from H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, CF₃;

R is selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₂-C₆

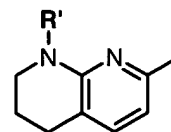
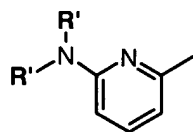
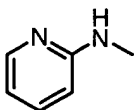
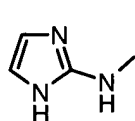
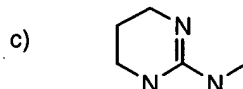
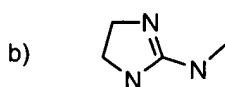
alkenyl, C₂-C₄ alkynyl, aryl or aryl-C₁-C₄ alkyl.

10. The method of claim 9 wherein :

G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, C₁-C₆ alkyl, phenyl, and phenyl-C₁-C₄-alkyl;

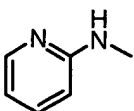
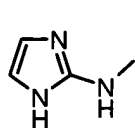


B is (CH₂)_q where q is 2,3,4

R₂ is a phenyl or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, CF₃.

11. The method of claim 9 wherein :

G is selected from the group consisting of



12. The method according to claim 9 wherein the compound is selected from the group consisting of

3-phenyl-N-{4-[2-(2-pyridinylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-beta-alanine

3-phenyl-N-{4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-

- [illegible]

- N-{3-oxo-4-[2-(2-pyridinylamino)ethyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-
3-(3-pyridinyl)-beta-alanine
- N-{3-oxo-4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-
yl}-3-(3-pyridinyl)-beta-alanine
- 5 N-{3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-
3-(3-pyridinyl)-beta-alanine
- N-{4-[2-(1H-imidazol-2-ylamino)ethyl]-3-oxo-3,4-dihydro-2H-1,4-
benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine
- N-{4-[3-(1H-imidazol-2-ylamino)propyl]-3-oxo-3,4-dihydro-2H-1,4-
10 benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine
- N-{4-[4-(1H-imidazol-2-ylamino)butyl]-3-oxo-3,4-dihydro-2H-1,4-
benzoxazin-7-yl}-3-(3-pyridinyl)-beta-alanine
- 3-({3-oxo-4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-
benzoxazin-7-yl}oxy)-3-phenylpropanoic acid;
- 15 3-({3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-
yl}oxy)-3-phenylpropanoic acid;
- 3-({3-oxo-4-[4-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-
benzoxazin-7-yl}oxy)-3-phenylpropanoic acid;
- 3-({3-oxo-4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-
20 yl}oxy)-3-(3-pyridinyl)propanoic acid;
- 3-({3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-
yl}oxy)-3-(3-pyridinyl)propanoic acid;
- 3-({3-oxo-4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-
benzoxazin-7-yl}oxy)-3-(3-pyridinyl)propanoic acid;
- 25 3-({3-oxo-4-[4-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-
benzoxazin-7-yl}oxy)-3-(pyridinyl)propanoic acid;
- 3-({3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-
yl)sulfanyl)-3-phenylpropanoic acid;
- 3-({3-oxo-4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-
30 benzoxazin-7-yl)sulfanyl)-3-phenylpropanoic acid;
- 3-({3-oxo-4-[4-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-
benzoxazin-7-yl)sulfanyl)-3-phenylpropanoic acid;

TOXSTAT 2.2.2000

3-({3-oxo-4-[3-(2-pyridinylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-(3-pyridinyl)propanoic acid;

3-({3-oxo-4-[4-(2-pyridinylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-(3-pyridinyl)propanoic acid;

5 3-({3-oxo-4-[3-(1H-imidazol-2-ylamino)propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-(3-pyridinyl)propanoic acid;

3-({3-oxo-4-[4-(1H-imidazol-2-ylamino)butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}sulfanyl)-3-(3-pyridinyl)propanoic acid;

10 4-{3-oxo-4-[4-(pyridin-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenylbutanoic acid;

4-{3-oxo-4-[3-(1H-imidazol-2-ylamino)-propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenylbutanoic acid;

4-{3-oxo-4-[4-(1H-imidazol-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-phenylbutanoic acid;

15 4-{3-oxo-4-[4-(pyridin-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)butanoic acid;

4-{3-oxo-4-[3-(1H-imidazol-2-ylamino)-propyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)butanoic acid;

20 4-{3-oxo-4-[4-(1H-imidazol-2-ylamino)-butyl]-3,4-dihydro-2H-1,4-benzoxazin-7-yl}-3-(3-pyridinyl)butanoic acid;

either as a single isomer or as a mixture thereof, and the pharmaceutically acceptable salts thereof.

25 13. The method according to claim 9, wherein the condition treated is bone resorption, osteoporosis, humoral hypercalcemia of malignancy, Paget's disease, tumor metastasis, neoplasia (solid tumor growth), angiogenesis
A ~~including tumor angiogenesis~~, diabetic retinopathy, arthritis, psoriasis and periodontal disease, or smooth muscle cell migration including restenosis.

30

14. The method according to claim 12, wherein the condition treated is bone resorption, osteoporosis, humoral hypercalcemia of malignancy, Paget's disease, tumor metastasis, neoplasia (solid tumor growth), angiogenesis

including tumor angiogenesis, diabetic retinopathy, arthritis, psoriasis and periodontal disease, or smooth muscle cell migration including restenosis.

- 5 15. A combined method of treatment of cancer or of controlling the growth of a neoplasm in a mammal suffering from cancer, including a human, said method comprising administering simultaneous, separately or sequentially,
- 1) a compound of formula (I) as defined in claim 1 or a pharmaceutically acceptable salts thereof and
- 10 2) an additional antitumor agent; in amounts and close enough together in time sufficient to produce a therapeutically useful effect.
16. The method according to claim 15, wherein the additional antitumor agent is selected from the group consisting of an antineoplastic topoisomerase II inhibitor, an antineoplastic antimicrotubule agent, an antineoplastic
- 15 alkylating agent, an antineoplastic antimetabolite and an antineoplastic topoisomerase I inhibitor.
17. A product containing a compound of formula (I) as defined in claim 1 or a pharmaceutically acceptable salt thereof, and an effective antineoplastic
- 20 amount of additional antitumor agent as a combined preparation for simultaneous, separate or sequential use in anti-cancer therapy.
18. The product according to claim 17, wherein the additional antitumor agent is selected from an antineoplastic topoisomerase II inhibitor, an antineoplastic
- 25 antimicrotubule agent, an antineoplastic alkylating agent, an antineoplastic antimetabolite and an antineoplastic topoisomerase I inhibitor.

add
A'